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L4 ANSWER 1 OF 7
ACCESSION NUMBER:
DOCUMENT NUMBER:
137:28399
TITLE:
CDB-4453, are potent antiprogestins with reduced antiplucocorticoid activity: in vitro comparison to mifepristone and CDB-2914
AUTHOR(S):
AUTHOR(S):
CORPORATE SOURCE:
CORPORATE SOURCE:
SOURCE:
CORPORATE SOURCE:
CO

AUTHOR (S) :

Molecular and Cellular Endocrinology (2002), 188(1-2), 111-123
CODEN: MCEND6: ISSN: 0303-7207
PUBLISHER: Elsevier Science Ireland Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB To obtain selective antiprogestins, we have examd, the in vitro antiprogestational/antiglucocorticoid properties of two novel compds., CDB-4124 and the putative monodemethylated metabolite, CDB-453, in transcription and receptor binding assays and compared them to CDB-2914 and mifepristone. All four antiprogestins bound with high affinity to rabbit uterine progestin receptors (FR) and recombinant human FR-A and PR-B (rbR-A, rbR-B) and were potent inhibitors of R5020-induced transactivation of the FR2-tk-luciferase (FR22-tk-LUC) reporter plasmid and endogenous alk. phosphatase prod in T47D-CO human breast cancer cells. None of these compds. exhibited aponist activity in these cells. Induction of luciferase activity was potentiated about five-fold by B-Br-CAMP under basal conditions and to the same extent in the presence of the PR antagonists. Mifepristone bound to rabbit thymic glucocorticoid receptors (GR) with approx. twice the avidity of the CDB antiprogestins. Inhibition of GR-mediated transcription of PR2-tk-LUC was assessed in HepG2 human hepatoblastoma cells. Mifepristone exhibited greater antiglucocorticoid activity than CDB-2914, 142, and 4453, about 12-, 22-, and 185-fold, resp. Thus, while there was a good correlation between binding to PR and functional activity of these antiprogestins, GR binding was not predictive of their glucocorticoid antagonist activity. In agreement with our in vivo results, CDB-4124, and 4453, as well as CDB-2914, are potent antiprogestins in vitro, but show considerably less antiglucocorticoid activity than midpristone.

IT 19841-31-2, CDB-4124 365416-28-0, CDB 4453
RL: PRAC (Pharmacological activity) THU (Therapeutic use); BIOL (Biological study); USES (Uses)
CDB-4124 and putative monodemethylated metabolite, CDB-4453, are potent antiprogestins with reduced antiglucocorticoid activity in transcript

Absolute stereochemistry.

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
1135:304062
115LE:
115:304062
129-norpregna-4,9-gidne-3,20-dione derivatives as new antiprogestational agents
Xim, Hyun K.; Blye, Richard P.; Rao, Pemmaraju N.;
Cessac, James W.; Acosta, Carmie K.; Simmons, Anne Marie
SOURCE:
PATENT ASSIGNEE(S):
SOURCE:
PATENT TYPE:
LANGUAGE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
1901:747811
105:304062
175:304062
175:304062
175:304062
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DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2001074840 A2 20011011 WO 2001-US8681 20010316

WO 2001074840 A3 20020502

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MY, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZY, AM, AZ, BY, KG, XZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, HL, MR, NE, SN, TD, TG

AU 2001045849 A5 20011015 AU 2001-45849 20010316

EP 1265911 A2 20012118 EP 2001-918812 20010316

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

JE, SI, LT, LV, FI, RO, MK, CY, AL, TR

OTHER SOURCE(S): HARPAT 135:304062

AB 19-Norpregna-4, 9-diene-3, 20-dione derivs. [1, R1 = OMe, SMe, NMe2, NIDMe, NCH8, NCSH10, NCH80, NCH0, CH(ORH)Me, C(O)Me, O(CH2) ZNMC2, and

-O(CH2) ZNCSH10, R2 = H, halogen, alkyl, acyl, hydroxy, alkoxy, acyloxy, alkyl, acyl, setter, alkoxy, R3 = alkyl, hydroxy, alkoxy and acyloxy, R4 = alkyl, alkoxy ester, alkoxy, R3 = alkyl, hydroxy, alkoxy and acyloxy, R4 = alkyl, alkoxy ester, alkoxy, R3 = alkyl, hydroxy, alkoxy and acyloxy, R4 = and acytome-dependent tumors; to treat mediagiomas; to treat uterine fibroids; to inhibit uterine endometrial proliferation, Thus, norpregnationedione deriv. II was propelectational proliferation, Thus, norpregnationedione deriv. II was pred. from 3,3-ethylenedioxy-17.beta.-cyano-17.alpha.-hydroxyestra-5(10), 9111-diene and 4-bromon-N, A-dienetylandinie in 9 steps which showed 2.79 times the antiprogestational potency in the anticlauberg test compared to CDB-2914. ISBA14-93-90, CDB 4102 198414-93-90, CDB 4102 198414-93-9 PATENT NO. KIND DATE APPLICATION NO. DATE

ANSWER 1 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

365416-28-0 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-methoxy-11-[4-(methylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) (prepn. of 17.alpha.-substituted-11.beta.-substituted-4-aryl and 21-substituted-19-norpregnadienedione as new antiprogestational agents) 198414-09-4 CAPLUS
19-Norpregna-4, 9-diene-3, 20-dione, 21-(acetylthio)-11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

198414-31-2 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-39-0 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl)-21-ethoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 365416-60-0 CAPLUS

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) 19-Norpregna-4,9-diene-3,2-doidone,11-[4-(dimethylamino)phenyl]-17,21-dimethoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-03-8P, CDB 4058 198414-05-0P, CDB 3876
198414-07-2P, CDB 4059 198414-11-8P, CDB 4101
198414-22-1P, CDB 4030 198414-13-8P, CDB 4101
198414-35-P, CDB 4152 198414-41-4P 198414-43-6P
, CDB 4031 365415-80-1P 365416-26-8P
365416-62-0P 365416-63-3P 365416-61-3P
365416-67-7P 365416-63-3P 365416-69-9P
365416-77-3P 365416-63-3P 365416-75-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
[preph. of 17.alpha.-substituted-11.beta.-substituted-4-aryl and 21-substituted 19-norpregnadienedione as new antiprogestational agents)
198414-03-8 CAPJUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-fluoro-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-05-0 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-chloro-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

Absolute stereochemistry. Rotation (+).

198414-33-4 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-(3-cyclopentyl-1-oxopropoxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-34-5 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

198414-41-4 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-methoxy-, 3-oxime, (11.beta.)- (9CI) (CA INDEX

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) Absolute stereochemistry.

198414-07-2 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17,21-bis(acetyloxy)-l1-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-11-8 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-(acetylthio)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-22-1 CAPLUS Estra-4,9-dien-3-one, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-(1-oxopropyl)-, (11.beta.,17.alpha.)- (9CI) (CA INDEX NAME)

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN NAME) (Continued)

Absolute stereochemistry. Double bond geometry unknown.

198414-43-6 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-bromo-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

365415-80-1 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17,21-bis(acetyloxy)-11-[4-(dimethylamino)phenyl]-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

365416-26-8 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17,21-dimethoxy-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.

Double bond geometry unknown.

365416-28-0 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-methoxy-11-[4-(methylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

365416-58-6 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17,21-bis(acetyloxy)-l1-[4-(1-piperidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

365416-64-4 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-methoxy-11-[4-(1-piperidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

365416-67-7 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4-(dimethylamino)phenyl)-21-(1-oxopropoxy)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

365416-68-8 CAPLUS

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

365416-61-1 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-ethoxy21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

365416-62-2 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 17,21-dimethoxy-11-[4-(1-pyrrolidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

365416-63-3 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17,21-dimethoxy-11-[4-(1-piperidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4-(dimethylamino)phenyl]-21-[(methoxyacetyl)oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

365416-69-9 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-[(methoxycarbonyl)oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

365416-70-2 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-(ethenyloxy)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

365416-71-3 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-21-(ethenyloxy)-17-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

365416-72-4 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-21-(ethenyloxy)-17-ethoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

365416-73-5 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-thiocyanato-, (11.beta.)- (9CI) (CA INDEX NAME)

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
198413-96-69 198413-97-79 198413-98-89
198413-99-99 198414-00-59 198414-21-09
198414-42-19 199414-22-39 198414-81-89-9
198414-42-59 365416-07-59 365416-08-69
365416-17-79 365416-18-89 365416-19-99
365416-20-29 365416-21-39 365416-22-4P
365416-48-49 365416-49-59
RE: RCT (Reactant): SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 17.alpha.-substituted-11.beta.-substituted-4-aryl and
21-substituted 19-norpregnadienedione as new antiprogestational agents)
198413-96-6 CAPLUS
198413-96-6 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-chloro-11-[4-(dimethylamino)phenyl]17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198413-97-7 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

198413-98-8 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-[4-[dimethylamino]phenyl]-17,21-dihydroxy-, [11.beta.]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN Absolute stereochemistry.

365416-74-6 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)pheny1]-17,21-bis(formyloxy)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

365416-75-7 CAPLUS Glycine, N,N-dimethyl-, (11.beta.)-17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-3,20-dioxo-19-norpregna-4,9-dien-21-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

198413-99-9 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-hydroxy-21-[(methylsulfonyl)oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-00-5 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-21-fluoro-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-21-0 CAPLUS Estra-4,9-dien-3-one, 11-[4-(dimethylamino)phenyl]-17-hydroxy-17-(1-oxopropyl-, (11.beta.,17.alpha.)- (9CI) (CA INDEX NAME)

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

198414-30-1 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-hydroxy-21-methoxy-, (11.beta.)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

198414-32-3 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(3-cyclopentyl-1-oxopropoxy)-11-[4-dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-38-9 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-21-ethoxy-

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

365416-08-6 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-17-hydroxy-11-[4-(1-piperidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Absolute stereochemistry.

365416-18-8 CAPLUS
19-Norpregna-4, 9-diene-3, 20-dione, 11-[4-(dimethylamino)phenyl]-17-hydroxy-21-(1-oxopropoxy)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN 17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME) (Continued)

Absolute stereochemistry.

198414-42-5 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-bromo-11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

365416-07-5 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-chloro-17-hydroxy-11-{4-{1-piperidinyl}phenyl}-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

365416-19-9 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-[(chloroacetyl)oxy]-11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

365416-20-2 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-[(chloroacetyl)oxy]-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

365416-21-3 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) (dimethylamino)phenyl]-21-[(iodoacetyl)oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

365416-22-4 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-hydroxy-21-thiocyanato-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

365416-48-4 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, ll-{4-(dimethylamino)phenyl}-17-hydroxy-21-[(methoxyacetyl)oxy]-, (ll.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

365416-27-9 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17,21-bis(acetyloxy)-11-[4(methylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry,

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

365416-49-5 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-hydroxy21-[(methoxycarbonyl)oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

365416-23-5P 365416-27-9P

365416-23-59 365416-27-99
RE: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of 17.alpha.-substituted-11.beta.-substituted-4-aryl and
21-substituted 19-norpregnadienedione as new antiprogestational agents)
365416-23-5 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-21(formyloxy)-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 2001:489415 CAPLUS

DOCUMENT NUMBER: 135:61476 labsing for the preparation of 17.alpha.-acetoxy-11.beta.-[4-N. (dimethylamino)phenyl]-21-methoxy-19-norprepna-4,9-diene-3,20-dione, intermediates useful in the process, and processes for preparing such intermediates TITLE: Intermediates
XLm, Hyun Xoo: Rao, Pemmaraju N.; Cessac, James W.;
Simmons, Anne Marie
United States Dept. of Health and Human Services, USA
PCT Int. Appl., 50 pp.
CODEN: PIXXD2 INVENTOR (S): PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: Patent English FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2001047945 A1 20010705 W2000-US35479 20001229

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KER, KG, KP, KR, KZ, LC, LK, LR, LS, IL, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, MD, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZV, AM, AZ, BY, KG, KZ, MD, RM, TJ, TH

RW: GR, GM, KE, LS, MW, MZ, SD, SL, SZ, ZZ, UG, ZV, AT, BE, CH, CD, DE, DK, ES, FI, FR, GB, GR, IE, 17, LU, MC, NL, PT, SE, TR, EF, BB, CF, CG, CI, CM, GA, GN, GW, MR, NE, SN, TD, TG

AU 2001026048 A5 2010709 AY 2001-26048 20001229

ER: AT, BE, CH, DE, DK, ES, FR, FB, GR, RI, LI, LU, NL, SE, MC, PT, ILS, SI, LT, LV, FI, RO, MK, CY, AL, TR

US 200306046 A1 20030327 US 1999-173470P P 19991229

OTHER SOURCE (S): CASREACT 15: 61476

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US 1999-173470P P 19991229

OTHER SOURCE(S):

CASREAT 15:61476

AB A process for prepg. the antyprogestational agent, 17. alpha.-acetoxy11.beta.-[4-N.N-(dimethylamino) phenyl]-21-methoxy-19-norpregna-4,9-dien
-3,20-dione (1), intermediates useful in the process, and processes for
prepg. such intermediates was described. I was prepd. via a multistep
synthetic sequence starting from cynachydrin II. The synthetic sequence
involved replacing the cyanohydrin group of II with a chloroscetyl group
and a hydrowyl group replacing the chloro group of the resulting compd.
with an acetoxy group deacetylating the resulting compd. selectively methylating the 21-hydroxy
group of the resulting compd.; selectively methylating the 21-hydroxy
group of the resulting compd.; reducing the 20-keto group of the resulting
compd., epoxidizing the resulting compd.; introducing a
N.N-dimethylashynophenyl group at the 11-position and opening the epoxide;
deketalizing fhe resulting compd.; selectively oxidizing the 20-hydroxyl
group to a Vato group; and acetylating the resulting compd.

TI 198414-30-14

RE: IMF (jindustrial manufacture); RCT (Reactant); SPN (Synthetic 198414-30-16
RE: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparat/on); PREF (Preparation); RACT (Reactant or reagent) (profess for the prepn. of 17.alpha.-acetoxy-11.beta.-(4-N,N-(digetylamino))phenyl)-21-methoxy-19-norpregna-4,9-diene-3,20-dione, intermediates useful in the process, and processes for prepg. such intermediates)
198414-30-1 CAPLUS

19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)pheny1]-17-hydroxy-

ANSWER 3 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN 21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME) (Continued)

Absolute stereochemistry.

198414-31-2P

198414-31-2P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(process for the prepn. of 17.alpha.-acetoxy-11.beta.-[4-N,N-(dimethylamino)phenyl]-21-methoxy-19-norpregna-4,9-diene-3,20-dione, intermediates useful in the process, and processes for prepg. such intermediates)
198414-31-2 CAPLUS
198404-31-2 CAPLUS
19Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
328537-10-6P 228537-11-7P 328537-12-8P
328537-35-3P 328537-27-5P 328537-35-5P
328537-31-1P 228537-33-3P 328537-35-5P
328537-48-0P 328537-49-1P 328537-53-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); TRU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 17. beta.-acyl-17. alpha.-propynyl-11. beta.-(cyclic amino) arylsteroids with antiprogestational activity)
328535-36-0 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-11-[4-(4-morpholinyl)phenyl]-17-(1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

328535-37-1 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-11-[4-(4-morpholinyl)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

328535-38-2 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 17-(3-hydroxy-1-propynyl)-21-methoxy-11-[4-(4-morpholinyl)phenyl]-, (11.beta.)- (SCI) (CA INDEX NAME)

Absolute stereochemistry.

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L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:185774 CAPLUS
DOCUMENT NUMBER: 114:208009
Preparation of 17.beta.-acyl-17.alpha.-propynyl-
11.beta.-(cyclic amino) acyl steroids and their
derivatives having antagonist hormonal properties
INVENTOR(S): Cook, C. Edgar; Kepler, John A.; O'Reilly, Jill M.
Research Triangle Institute, USA
PATENT ASSIGNEE(S): Research Triangle Institute, USA
DOCUMENT TYPE: PATENT
LANGUAGE: PATENT
EMPLIY ACC. NUM. COUNT: 1
  DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
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	RW:	GH,	GM,	ΚE,	LS,	MW,	MZ.	SD,	SL.	SZ.	TZ.	UG.	ZV.	AT.	BE.	CH.	CY.
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OTHER S	DURCE	(S):			MAR	PAT	134:3				/		-				
										_	<i>z</i> .						

ER SOURCE(S): MARPAT 134:208009

The invention is directed to the prepn. of 47.beta.-acyl-17.alpha.-propynyl steroids of formula I [R1 - hets/dccycler R2 - Me, CF3, CH2OH; R3 - H, Me, OMe, OAc, halor R4 - H, Me, F, Cl; X - O, H2, NOH, NOMe] which exhibit potent antiprogestational activity. Thus, II was prepd. from 17.beta.-cyano-3,3-(ethanedlyldioxy/-17.alpha.-trimethylsilyloxy-5(10),9(11)-diene in B steps. Theyanti-McGinty assay for antiprogestational activity show II to be an exceptionally potent antiprogestational activity show II to be an exceptionally potent antiprogestational activity show II to be an exceptionally potent antiprogestational activity show II to be an exceptionally potent antiprogestational activity show II to be an exceptionally potent antiprogestational activity show II to be an exceptionally potent antiprogestational activity show II to be an exceptionally potent antiprogestational activity show II to be an exceptionally potent antiprogestational activity show II to be an exceptionally potent antiprogestational activity show II to be an exceptionally potent antiprogestational activity show II to be an exceptionally potent antiprogestational activity show II to be an exceptionally potent antiprogestational activity show II to be an exceptionally potent antiprogestational activity show II to be an exceptionally potent antiprogestational activity show II to be an exceptionally potent antiprogestational activity show II to be an exceptionally potent antiprogestational activity show II to be an exceptionally potent antiprogestational activity show II to be an exceptionally potent antiprogestational activity show II to be an exceptionally potent antiprogestational activity show II to be an exceptionally potent antiprogestational activity show II to be an exceptionally potent antiprogestational activity show II to be an exceptionally potent antiprogestational activity show II to be an exceptionally potent antiprogestational activity thus II to be an exception antiprogestational activity thus II

VER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

19-Norpregna-4, 9-diene-3, 20-dione, 21-methoxy-11-[4-(4-morpholiny1)pheny1]-17-(1-propyny1)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

328535-40-6 CAPLUS
19-Norpregna-4.9-diene-3,20-dione, 21-methoxy-11-[4-(4-morpholinyl)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX

Absolute stereochemistry. Double bond geometry unknown.

ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

328535-41-7 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(3-hydroxy-1-propynyl)-21-methoxy-11-[4-(4-eorpholinyl)phenyl]-, 3-oxime, (11.beta.)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

328535-54-2 CAPLUS Estra-4, 9-dien-3-one, 11-[4-(4-morpholinyl)phenyl]-17-(1-oxopropyl)-17-(1-propynyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

328535-55-3 CAPLUS
Estra-4,9-dien-3-one, 11-[4-(4-morpholinyl)phenyl]-17-(1-oxopropyl)-17(3,3,3-trifluoro-1-propynyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

328535-58-6 CAPLUS Estra-4,9-dien-3-one, 11-[4-(4-morpholinyl)phenyl]-17-(1-oxopropyl)-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

328535-59-7 CAPLUS Estra-4,9-dien-3-one, 17-(3-hydroxy-1-propynyl)-11-[4-(4-morpholinyl)phenyl]-17-(1-oxopropyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

328535-56-4 CAPLUS Estra-4,9-dien-3-one, 17-{3-hydroxy-1-propynyl)-11-[4-(4-morpholinyl)phenyl]-17-(1-oxopropyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

328535-57-5 CAPLUS Estra-4,9-dien-3-one, 11-[4-(4-morpholinyl)phenyl]-17-(1-oxopropyl)-17-(1-propynyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

328535-89-3 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-11-[4-(1-piperidinyl)phenyl]-17-(1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

328535-90-6 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-11-[4-(1-piperidinyl)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

328535-91-7 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 17-(3-hydroxy-1-propynyl)-21-methoxy-11-

ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) [4-(1-piperidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

328535-92-8 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-11-[4-(1-piperidinyl)phenyl]-17-(1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

328535-94-0 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-11-[4-(1-piperidinyl)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

328536-22-7 CAPLUS Estra-4,9-dien-3-one, 17-(1-oxopropyl)-11-[4-(1-piperidinyl)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

328536-23-8 CAPLUS Estra-4,9-dien-3-one, 17-(3-hydroxy-1-propynyl)-17-(1-oxopropyl)-11-[4-(1-piperidinyl)phenyl)-, (11.bets.,17.bets.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

328536-24-9 CAPLUS Estra-4,9-dien-3-one, 17-(1-oxopropy1)-11-[4-(1-piperidiny1)pheny1]-17-(1-

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

328535-96-2 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(3-hydroxy-1-propynyl)-21-methoxy-11[4-(1-piperidinyl)phenyl]-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

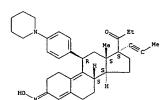
328536-20-5 CAPLUS

Estra-4,9-dien-3-one, 17-(1-oxopropyl)-11-[4-(1-piperidinyl)phenyl]-17-(1-propynyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) propynyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



328536-25-0 CAPLUS Estra-4,9-dien-3-one, 17-(1-oxopropyl)-11-[4-(1-piperidinyl)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

328536-26-1 CAPLUS
Estra-4,9-dien-3-one, 17-(3-hydroxy-1-propynyl)-17-(1-oxopropyl)-11-(4-(1-piperidinyl)phenyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

328536-56-7 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-17-(1-propynyl)-11-[4-(1-pyrrolidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

328536-57-8 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-11-[4-(1-pytrolidinyl])-henyl]-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

328536-61-4 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(3-hydroxy-1-propynyl)-21-methoxy-11[4-(1-pyrrolidinyl)phenyl]-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

328536-74-9 CAPLUS Estra-4,9-dien-3-one, 17-(1-oxopropyl)-17-(1-propynyl)-11-[4-(1-pyrrolidinyl)phenyl]-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

328536-75-0 CAPLUS Estra-4,9-dien-3-one, 17-(1-oxopropyl)-11-[4-(1-pyrrolidinyl)phenyl]-17-(3,7,3-trifluoro-1-propynyl)-, (11.beta.,17.beta.)- (9C1) (CA INDEX NAME)

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

328536-58-9 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(3-hydroxy-1-propynyl)-21-methoxy-11-(4-(1-pyrrolidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

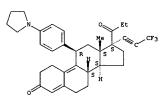
328536-59-0 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-17-(1-propynyl)-11-[4-(1-pyrrolidinyl)phenyl]-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

328536-60-3 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-11-[4-(1-pyrrolidinyl)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.)- (9Cl) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN Absolute stereochemistry. (Continued)



328536-76-1 CAPLUS Estra-4,9-dien-3-one, 17-(3-hydroxy-1-propynyl)-17-(1-oxopropyl)-11-[4-(1-pyrrolidinyl)phenyl]-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

328536-77-2 CAPLUS Estra-4,9-dien-3-one, 17-(1-oxopropyl)-17-(1-propynyl)-11-[4-(1-pyrrolidinyl)phenyl]-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

328536-78-3 CAPLUS Estra-4,9-dien-3-one, 17-(1-oxopropyl)-11-[4-(1-pyrrolidinyl)phenyl]-17-

ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) (3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

328536-79-4 CAPLUS Estra-4,9-dien-3-one, 17-(3-hydroxy-1-propynyl)-17-(1-oxopropyl)-11-[4-(1-pyrrolidinyl)phenyl]-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

328537-07-1 CAPLUS
19-Norpragna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(4-morpholinyl)phenyl)-17-(1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

328537-10-6 CAPLUS .
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(4-morpholinyl)phenyl]-17-(1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

328537-11-7 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(4-morpholinyl)phenyl)-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

328537-08-2 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(4-morpholinyl)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

328537-09-3 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-17-(3-hydroxy-1-propynyl)-11-(4-(4-morpholinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

328537-12-8 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-17-(3-hydroxy-1-propynyl)-11-(4-morpholinyl)phenyl]-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

328537-25-3 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(1-piperidinyl)phenyl]-17-(1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) 328537-27-5 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(1-piperidinyl)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

328537-29-7 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-17-(3-hydroxy-1-propynyl)-11-[4-(1-piperidinyl)phenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

328537-31-1 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-(4-(1-piperidinyl)phenyl]-17-(1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

328537-48-0 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-17-(1-propynyl)-11-[4-(1-pyrrolidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

328537-49-1 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(1-pyrcolidinyl)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

328537-50-4 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-17-(3-hydroxy-1-propynyl)-11-[4-(1-pyrrolidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX

ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

328537-33-3 _CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(1-piperidinyl)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.)-(SCI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

328537-35-5 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-17-(3-hydroxy-1-propynyl)-11-[4-(1-piperidinyl)phenyl]-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN NAME) (Continued)

Absolute stereochemistry.

328537-51-5 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-17-(1-propynyl)-11-[4-(1-pyrrolidinyl)phenyl]-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

328537-52-6 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(1-pyrrolidinyl)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

Page 14

ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

328537-53-7 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-17-(3-hydroxy-1-propynyl)-11-(4-(1-pyrrolidinyl)phenyl]-, 3-oxime, (11.beta.)- (9CI) (CA

Absolute stereochemistry. Double bond geometry unknown.

ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
273210-21-2P 273210-22-3P 273210-23-4P
273210-36-9P 273210-37-0P 273210-38-1P
273210-39-2P 2732210-65-5P 273210-64-6P
273210-54-1P 273210-55-2P 273210-55-6P
273210-54-1P 273210-55-2P 273210-59-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 17.beta.-acyl-17.alpha.-propynyl-11.beta.-arylsteroids with antiprogestational activity) propynyl-, (11.beta.-acyl-frapha.-propynyl-fileta.-aryfstefolds vi antiprogestational activity) 273208-59-6 CAPUS 19-Norpregna-4,9-diene-3,20-dione, 11-(4-aminophenyl)-21-methoxy-17-(1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

273208-60-9 CAPUS
19-Norpregna-4,9-diene-3,20-diene, 11-(4-aminophenyl)-21-methoxy-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.)- (SCI) (CA INDEX NAME)

Absolute stereochemistry.

273208-61-0 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 11-(4-aminopheny1)-17-(3-hydroxy-1-propyny1)-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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L4 ANSWER 5 OF 7
ACCESSION NUMBER:
DOCUMENT NUMBER:
TITLE:

INVENTOR(5):
FOREYER:
SOURCE:

DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
FAMILY ACC
     DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                             PATENT NO.
                                                                                                                                                                                                                                    KIND DATE
                                                                                                                                                                                                                                                                                                                                                                                                                                                              APPLICATION NO. DATE
                                  R: AT, BE, CH, DE, DK, ES, FR, GB, GR, TT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO
NZ 512697 A 20030131 NZ,1999-512697 19991203
PT 1135403 T 20031231 PT 1999-9964047 19991203
DRITY APPLN. INFO: V5 1999-205395 A 19991204

V6 1999-US28535 V 19991204

V6 1999-US28535 V 19991204

V7 1999-US28535 V 19991204

V8 1999-US28535 V 19991204

V8 1999-US28535 V 19991204

V8 1999-US28535 V 19991204

RER SOURCE(S): MARPAT 133:17687

NOVel 17. beta. -acyl-17. alpha. -popynyl steroids of formula I [R1 = NMe2, NMeNe, NH2; R2 - Me, CF3, CH209; R3 = H, Me, OMe, OAC; R4 - H, Me, F, Cl; X - O, H2, NOM, NOMe] are prept. which exhibit potent antiprogestational activity. Thus II was prept. from estrone in many steps. The relative progesterone binding activity of 11 vas 3134 of promegestone.

213208-59-66 213208-60-97 273208-61-0P
213208-61-19 213208-63-74 273208-64-3P
213209-31-79 273209-16-89 273208-64-3P
213209-33-99 213209-31-79 273209-31-P
213209-33-99 213209-31-79 273209-31-P
213209-33-99 213209-31-79 273209-71-59
213209-68-07 4P 273209-11-59 273209-71-59
213209-68-07 4P 273209-11-59 273209-71-59
213209-68-07 4P 273209-11-59 273209-71-59
213209-68-07 273209-91-59 273209-91-99
273210-18-79 273210-19-8P 273210-20-1P
  AT 246201
PT 1135403
PRIORITY APPLN. INFO.:
       OTHER SOURCE(S):
```

ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

273208-62-1 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-(4-aminopheny1)-21-methoxy-17-(1-propyny1)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

273208-63-2 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 11-(4-aminophenyl)-21-methoxy-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

19-Norpregna-4,9-diene-3,20-dione, 11-(4-aminopheny1)-17-(3-hydroxy-1-propyny1)-21-methoxy-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

273208-77-8 CAPLUS Estra-4,9-dien-3-one, 11-(4-aminophenyl)-17-(1-oxopropyl)-17-(1-propynyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

273208-78-9 CAPLUS Estra-4,9-dien-3-one, 11-(4-sminophenyl)-17-(1-oxopropyl)-17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

273208-79-0 CAPLUS Estra-4,9-dien-3-one, 11-(4-aminopheny1)-17-(3-hydroxy-1-propyny1)-17-(1-oxopropy1)-, (11-beta.,17.beta.)- (9CI) (CA INDEX NAME)

ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) 273208-82-5 CAPLUS Estra-4,9-dien-3-one, 11-(4-aminophenyl)-17-(3-hydroxy-1-propynyl)-17-(1-oxopropyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

273209-12-4 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-21-methoxy-17-(1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

273209-13-5 CAPLUS
19-Norpregna-4, 9-diene-3, 20-dione, 11-{4-(dimethylamino)phenyl}-21-methoxy17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.)- (9CI) (CA INOEX NAME)

Absolute stereochemistry.

273209-14-6 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-(3-

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN Absolute stereochemistry.

273208-80-3 CAPLUS Estra-4,9-dien-3-one, 11-(4-aminophenyl)-17-(1-oxopropyl)-17-(1-propynyl)-, 3-oxine, (11.beta.,17.beta.)- (SCI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

273208-81-4 CAPLUS Estra-4, 9-dien-3-one, 11-(4-aminophenyl)-17-(1-oxopropyl)-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) hydroxy-1-propynyl)-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

273209-15-7 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-21-methoxy17-(1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

273209-16-8 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-{4-(dimethylamino)phenyl}-21-methoxy-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

273209-17-9 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 11-{4-(dimethylamino)phenyl}-17-(3-

ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) hydroxy-1-propynyl)-21-methoxy-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

273209-30-6 CAPLUS Estra-4,9-dien-3-one, ll-[4-(dimethylamino)phenyl]-17-(1-oxopropyyl)-17-(1-propyyl)-, (ll.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochémistry.

273209-31-7 CAPLUS Estra-4,9-dien-3-one, 11-[4-(dimethylamino)phenyl]-17-(1-oxopropyl)-17-(3,3,3-trifluoco-1-propynyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

273209-35-1 CAPLUS Estra-4,9-dien-3-one, ll-[4-(dimethylamino)phenyl]-17-(3-hydroxy-1-propynyl)-17-(1-oxopropyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

273209-67-9 CAPLUS
19-Morpregna-4,9-diene-3,20-dione, 21-methoxy-11-[4-(methylamino)phenyl]-17-(1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

273209-68-0 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-methoxy-11-(4-(methylamino)phenyl]17-(3,3,3-trifluoro-1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

273209-32-8 CAPLUS
Estra-4,9-dien-3-one, 11-[4-(dimethylamino)phenyl]-17-(3-hydroxy-1-propynyl)-17-(1-oxopropyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

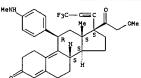
Absolute stereochemistry.

273209-33-9 CAPLUS Estra-4,9-dien-3-one, 11-[4-(dimethylamino)phenyl]-17-(1-oxopropyl)-17-(1-propynyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

Absolute stereochemistry.
Double bond geometry unknown.

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN



273209-69-1 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(3-hydroxy-1-propynyl)-21-methoxy-11[4-(methylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

19-Norpreya - Arius 19-Norpreya - Aguer - 3,20-dione, 21-methoxy-11-[4-(methylamino)phenyl]-17-(1-propynyl]-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

273209-71-5 CAPLUS 19-Norpress 20-dione, 21-methoxy-11-[4-(methylamino)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX

Absolute stereochemistry. Double bond geometry unknown.

ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

273209-72-6 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(3-hydroxy-1-propynyl)-21-methoxy-11[4-(methylamino)phenyl]-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

273209-85-1 CAPLUS

Estra-4,9-dien-3-one, 11-[4-(methylamino)phenyl]-17-(1-oxopropyl)-17-(1-propynyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

273209-86-2 CAPLUS
Estra-4,9-dien-3-one, 11-[4-(methylamino)phenyl]-17-(1-oxopropyl)-17(3,3,3-trifluoro-1-propynyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) (3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

273209-90-8 CAPLUS Estra-4,9-dien-3-one, 17-(3-hydroxy-1-propynyl)-11-(4-(methylamino)phenyl)-17-(1-oxopropyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

273210-18-7 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-(4-aminophenyl)-17-(1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

273210-19-8 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-(4-aminophenyl)-17-

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN Absolute stereochemistry.

273209-87-3 CAPLUS
Estra-4,9-dien-3-one, 17-(3-hydroxy-1-propynyl)-11-[4-(methylamino)phenyl]17-(1-oxopropyl)-, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

273209-88-4 CAPLUS Estra-4,9-dien-3-one, 11-[4-(methylamino)phenyl]-17-(1-oxopropyl)-17-(1-propynyl)-, 3-oxime, (11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

273209-89-5 CAPLUS Estra-4,9-dien-3-one, 11-[4-(methylamino)phenyl]-17-(1-oxopropyl)-17-

ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) (3,3,3-trifluoro-1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

273210-20-1 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-(4-aminophenyl)-17-(3-hydroxy-1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

273210-21-2 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-(4-aminophenyl)-17-(1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

olute stereochemistry. ble bond geometry unknown.

H₂N

273210-22-3 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-(4-aminophenyl)-17(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) Absolute stereochemistry. Double bond geometry unknown.

RN 273210-23-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-(4-aminophenyl)-17-(3-hydroxy-1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

RN 273210-36-9 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-(1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued

RN 273210-40-5 CAPLUS
CN 19-Norpregna-4, 9-diene-3, 20-dione, 21-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

RN 273210-41-6 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-(3-hydroxy-1-propynyl)-, 3-oxime, (11.beta.)-(9C1) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 273210-54-1 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(methylamino)phenyl]-17-(1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 273210-37-0 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 19-Norpregna-4, 9-diene-3, 20-dione, 21-(acetyloxy)-11-[4-(dimetylamino)]henyl]-17-(3, 3, 3-trifluoro-1-propynyl)-, (11.beta.)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

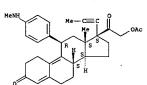
RN 273210-38-1 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-(4-(dimethylamino)phenyl]-17-(3-hydroxy-1-propynyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 273210-39-2 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-(1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) Absolute stereochemistry.



Absolute stereochemistry.

RN 273210-56-3 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-17-(3-hydroxy-1-propynyl)-11-[4-(methylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 273210-57-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-(4-(methylamino)phenyl]-17-(1-propynyl)-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) Double bond geometry unknown.

RN 273210-58-5 CAPLUS CN 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(methylamino)phenyl)-17-(3,3,3-trifluoro-1-propynyl)-, 3-oxime, (11.beta.)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

RN 273210-59-6 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-17-(3-hydroxy-1-propynyl)-11-[4-(methylamino)phenyl]-, 3-oxime, (11.beta.)- (9C1) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

CM 1

CRN 240806-27-3 CMF C32 H41 N O5

L4 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 1999:576339 CAPLUS DOCUMENT NUMBER: 131:199885 TITLE: Preparation of 20-keto-11.bet Preparation of 20-keto-11.beta.-arylsteroids and their derivatives having agonist or antagonist hormonal properties

Cook, C. Edgar, Kepler, John A.; Zhang, Ping-sheng,
Lee, Yue-vei; Tallent, C. Ray

Research Triangle Institute, USA

PCT Int. Appl., 95 pp.

CODEN: PIXXO2

Patent

Fannish INVENTOR (S): PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: English PATENT NO. PATENT NO. KIND DATE

WO 9945022 A1 19990910

V: AL, AM, AT, AU, AZ, BA, BB, BB, BR, BY, CA, CH, CN, CU, CZ, DE, UK, KE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL/ IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MM, MG, MM, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, IJ, TM, TR, TT, UA, UG, UZ, VM, YU, ZW, AM, AZ, BY, KG/ KZ, MD, RU, TJ, TM RV: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, KE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GM, CW, MI, MR, NE, SN, TD, Td

US 6020328 A 20000201

US 1998-39549 19980306

AU 767660 B2 20031120

EN AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

BR 9908598 A 20011002

PRITY APPLN. INFO: 12 20020219

PRITY APPLN. INFO: 1 1990305

WO 1999-35949 A 19990305

VS 1998-35949 A 19990305

VS 1998-35949 A 19990305

VS 1998-35949 A 19990305

VS 1998-35949 A 19990305 KIND DATE APPLICATION NO. DATE BR 1999-8598 19990305 JP 2000-534564 19990305 US 1998-35949 A 19980306 WO 1999-US3732 W 19990305 PRIORITY APPLN. INFO.: OTHER SOURCE(S): MARPAT 131:199805

OTHER SOURCE(S): MARPAT 131:199805

B 20-Keto-11.beta.-arylsteroids of formula I (X = 0, (substituted) NOH, H2, OH, etc., R1 = dialkylamino,/imidazolyl, pyrrolyl, piperidino, etc., R2 = H, halo, R3 = H, Me, halo, M4 = H, acyloxy, (substituted) OH, alkyl, etc., R5 = H, alkyl, halo, acyloxy, etc.) are prepd. which exhibit potent antiprogestational activity. Thus, II was prepd. from 17. alpha.-hydroxymethyl-3-methoxy-19-norpregna-1.3,5(10)-trien-20-one and 4-bromon,N-dimethylanfline in several steps. The affinity of II for the progesterone hormone feceptor was IC50 of 0.7 nM.

IT 240805-29-49

RL: RCT (Reactant): SPN (Synthetic preparation), PREP (Preparation); RACT (Reactant or cragent)

(prepn. of 20-keto-11.beta.-arylsteroids with antiprogestational activity) netrivity)
240806-28-4 CAPLUS
19,21-01norchola-4,9-dien-24-oir acid, 11-[4-(dimethylamino)phenyl]-17-hydroxy-3,20-dioxo-, ethyl ester, (11.beta.)-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

L4 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) Absolute stereochemistry.

F − C− со2н

CRN 76-05-1 CMF C2 H F3 O2

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 7
ACCESSION NUMBER:
DOCUMENT NUMBER:
1997:740250 CAPLUS
127:358992
127:358992
Preparation of 21-substituted progesterone derivatives as new antiprogestational agents
Kim, Hyun K., Blye, Richard P., Rao, Pemmaraju N.,
Cessac, James W., Acosta, Carmie K.
United States Dept. of Health and Human Services, USA,
Kim, Hyun K., Blye, Richard P., Rao, Pemmaraju N.,
Cessac, James W., Acosta, Carmie K.
PCT Int. Appl., 65 pp.
COEN: PIXXD2
DOCUMENT TYPE:

DOCUMENT TYPE: Patent English

19970430 , CN, CU, , KG, KY, , MX, NO,

70430 N 19970430

ES 2152671 US 2002025951 GR 3034562 PRIORITY APPLN. INFO.:

AT 194358

E 20000715

AT 197 #23523 19370430

BE 212671

US 2002025951

US 2002025951

AT 197 #23523 19370430

BE 212671

US 2002025951

AT 197 #23523 19370430

BE 212671

US 2002025951

AT 197 #23523 19370430

BE 212671

US 2002025951

AT 2002025951

BE 2002025951

AT 2002025951

BE 2002025951

AT 2002025951

BE 2002025951

BE 2002025951

AT 197 #23523 19370430

BE 397-923523 19370430 OTHER SOURCE(S):

ANSWER 7 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

198414-03-8P 198414-05-0P 198414-11-8P
198414-22-1P 198414-32-3P 198414-33-4P
198414-34-5P 198414-39-0P 198414-33-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological actudy, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of progestecone derivs. as antiprogestational agents)
198414-03-8 CARLIS
198414-03-8 CARLIS

19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-fluoro-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-05-0 CAPLUS

19-Norpregna-4,9-diene-3,20-diene, 17-(acetyloxy)-21-chloro-11-(4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 198414-11-8 CAPLUS

ANSWER OF DAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RL: BAC (Biological activity or effector, except adverse), BSU (Biological actudy, unclassified), RCT (Reactant), SPN (Synthetic preparation)) THU (Therapeuticiuse), BIOL (Biological study), PREP (Preparation), RACT (Reactant of reagent), USES (Uses) (preph) of progesterone derive, as antiprogestational agents)
198414-07-# CAPLUS
198414-07-# CAPLUS
198414-07-# (APLUS
198414

stereochemistry.

198414-09-4 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetylthio)-11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-31-2 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 7 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-(acetylihio)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- [9C1) (CA INDEX NAME)

Absolute stereochemistry.

198414-22-1 CAPLUS
Estra-4,9-dien-3-one, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-(1oxopropyl)-, (11.beta.,17.aipha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

198414-32-3 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 21-(3-cyclopentyl-1-oxopropoxy)-11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-33-4 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-(3-cyclopentyl-1-

ANSWER 7 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) oxopcopoxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-34-5 CAPLUS 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-{4-(dimethylamino)phenyl}-21-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-39-0 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-ethoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 7 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

198413-97-7 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 21-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198413-98-8 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17,21-dihydroxy-, (11.beta-)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-hydroxy-21-{(methylsulfonyl)oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

198414-43-6 CAPLUS 19-Norpregna-4, 9-diene-3, 20-diene, 17-(acetyloxy)-21-bromo-11-(4-(dimethylamino)phenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198413-96-6P 198413-97-7P 198413-98-8P 198413-99-9P 198414-20-0F 198414-21-0P 198414-30-1P 198414-38-9P 198414-42-5P 198414-30-1P 198414-38-9P 198414-42-5P (Reactant) 5 PR (Synthetic preparation); PREF (Preparation); RACT (Reactant or reagent) (prepn. of progesterone derivs. as antiprogestational agents) 198413-96-6 CAPUS 199413-96-6 CAPUS 19-Notpregna-4, 9-diene-3, 20-dione, 21-chloro-11-[4-(dimethylamino)phenyl]-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

198414-00-5 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-21-fluoro17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-21-0 CAPLUS Estra-4,9-dien-3-one, 11-{4-(dimethylamino)phenyl}-17-hydroxy-17-{1-oxopropyl}-, (11.beta.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

198414-30-1 CAPLUS
19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-hydroxy-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 198414-38-9 CAPLUS CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-21-ethoxy-17-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 198414-42-5 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 21-bromo-11-[4-(dimethylamino)phenyl]17-hydroxy-, (11.beta.)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

IT 198414-40-3P 198414-41-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of progesterone derivs. as antiprogestational agents)
RN 198414-40-3 CAPLUS

L4 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN 19-Norpregna-4,9-diene-3,20-diene, 17,21-bis(acetyloxy)-11-[4-(dimethylamino)phenyl]-, 3-oxime, (3E,11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 198414-41-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-diene, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-methoxy-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

09/526,855 Page 23

=> d ibib ab fqhit 1-14

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L9 ANSWER 1 OF 14 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
1138:390583 MARPAT
TITLE:
Skin-lightening agents containing substances which reduce tyrosinase and cosmetics containing the agents
SUMCE:
SUMCE:
SUMCE:
SUMCE:
PATENT ASSIGNEE(S):
Mikimoto Pharmaceutical Co., Ltd., Japan
Jpn. Kokai Tokkyo Koho, 6 pp.
COODEN: JXXXAF

PATENT NO. KIND DATE
APPLICATION NO DATE

PATENT INFORMATION:

PATENT INFORMATION:

PATENT NO. KIND DATE
APPLICATION NO DATE

PATENT NO. KIND DATE
APPLICATION NO DATE

PATENT APPLIN. INFO:

SIND DATE
APPLICATION NO DATE

PRIONITY APPLIN. INFO:
Of human melanocytes. The substances may be serecids which show antagonistic activity on progesterone/glybocorticoid receptors and may be represented by I file ethynyl, furyl, 2-6 cycloalkyl, Ph., naphthyl, CGHYPh, C.ltoreq, 6 alkyl which may have several unsatd. bond, alkenyl; R2

- Me, Ett R3 - H, (un) substituted alkyl, alkenyl, alkynyl, hydroxyacetyl, carboxyalkoxy, hydroxyalkyl; R4 - M, OH, C.ltoreq, 12 alkyl, alkenyl, alkynyl; R5 - alpha- or beta, M, Ms X - O, syn- or anti-hydroxyimino, Cl-45 alkoxyimino; A and B are Monded together to form .alpha-epoxy group or optional double bond]. Skim-lightening cosmetics conty. the agents are also claimed. Mifepristone dignificantly decreased amt. of tyrosinase in normal human epidermal melanocytes and the action was effective in the presence of forskolin or alpha-MSH. A cream conty. mifepristone was also formulated.

MSTR 1

MG - 21
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G12 G18

G14 - C(O)

G12 - 41

G16 - CN

DER: and pharmaceutically acceptable acid addition salts

MPL: claim 4

NTE: substitution is restricted

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
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ANSWER 1 OF 14 MARPAT COPYRIGHT 2004 ACS on STN

= alkenyl<(-12)> (SO G13)

2^С (0)-СН2-ОН

- O claim 3

G5 G7 MPL:

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L9 ANSWER 2 OF 14 MARPAT COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 129:50105 MARPAT ITILE: Uses of anti-glucocorticoid compounds for the treatment of psychoses or addictive behaviors Oberlander, Claude; Piazza, Pier Vincenzo PATENT ASSIGNEE(S): Oberlander, Claude; Piazza, Pier Vincenzo PCT Int. Appl., 41 pp. COURNT TYPE: Patent French FAMILY ACC. NUM. COUNT: 2

PATENT NO. KIND DATE APPLICATION NO. DATE V9926783 Al 19980625 W0 1997-FR2320 19971217

W 0 9926783 Al 19980625 W0 1997-FR2320 19971217

W 1 AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, BC, GW, HU, LD, IL, RO, SG, SI, SK, SL, TR, TT, UN, US, UZ, VM, VM, AM, AZ, BY, KC, KZ, MD, RU, TJ, TM

RY, CH, CM, KK, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, CB, GR, IE, IT, LU, MC, NL, PT, PE, BF, BJ, CF, CG, CI, CM, GA, CN, ML, MR, NE, SN, TD, TG

FR 2757400 Al 19980626 FR 1996-15649 19961219

FR 2757400 Al 19980626 FR 1996-15649 19961219

FR 2757400 Bl 19991217

AU 9955632 Al 19980175 Al 1998-55632 19971217

R: AT, BE, CH, DE, DK, ES, FR, DB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

IE, FI

RICHITY APPLM. INFO:

FR 1997-952078 19971217

AB Glucocorticoid antagonists, except mifepristone, are used as dopamine type II receptor antagonists to treet psychotic or addictive behavior. Thus, 17. beta.-hydroxy-10.beta.-[(4-shethylhenyl)methyl-17:alpha-(1-propynyl)estra-4,9(11)-dien-4-one considerably reduced the response to morphine in vivo.

MSTR 1

Me

PG16H-N-Me
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(Continued)

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L9 ANSWER 3 OF 14
ACCESSION NUMBER:
128:188669 MARPAT
Mixed agonists of the progesterone receptor and assays
for them
INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:
DOCUMENT TYPE:

HARPAT COPYRIGHT 2004 ACS on STN
128:188669 MARPAT
Mixed agonists of the progesterone receptor and assays
for them
Duke University, USA
PCT Int. Appl., 62 pp.
CODEN: PIXXD2
Patent
  DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                                                                                                     Patent
English
1
                          PATENT NO.
                                                                                                       KIND DATE
                                                                                                                                                                                                        APPLICATION NO.
                          WO 9805679
                                                                                                           A2 19980212
                                                                                                                                                                                                        WO 1997-US13754
wo 9805679 A2 19980212 wo 1997-US13754 9970805

W: CA
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, T, LU, MC, NL, PT, SE
PRIORITY APPLN. INFO:

B A third class of PR-ligand (i.e. mixed agonist) is identified which
induces a progesterone receptor conformation distinct from that induced by
a PR agonist or antagonist; the agonists are stra-4,9-dien-3-one derivs.
PR mixed agonists exhibit partial agonist activity which is influenced by
cell context. These compds. provide usefur pharmacol. profiles for
treating progesterone related diseases ad/or conditions, such as uterine
proliferation from estrogen administration, endometriosis, breast cancer,
fibroids, endometrial cancer, and brach meningiomas. The agonists can
also be used as contraceptives. Asyays are provided to screen for PR
mixed agonist. Mol. designs are provided to convert a PR antagonist to a
PR mixed agonist.
   G2
                                     - 30
    36 (o)⋅G3
                                  = alkyl<(1-6)> (SO)
= CO2H
= 52
   Ğ9 ___
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L9 ANSWER 4 OF 14 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
1171E: Pharmaceutical compositions of antiglucocorticoid compounds for treating or preventing symptoms of spontaneous or narcotic-induced withdrawal.

INVENTOR(S): Petit, Francis, Philibert, Daniel; Ulmann, Andre ROUSSEL-UCLAF, Fr.
Eur. Pat. Appl., 30 pp.
CODEN: EPXKOW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
French
FAMILY ACC. NUM. COUNT: 1
FYENCH THEOMATION:

KIND DATE

PATENT NO. KIND DATE APPLICATION NO. DATE

EP 676203 A1 19551011 EF 1955-400764 19550406

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
FR 2718354 A1 19551013 FR 1994-4156 19940408
FR 2718354 B1 19960503
ZA 9502058 A 19950031 2A 1995-2146600 19950407
FI 95016801 A 19951009 CA 1995-2146600 19950407
FI 95016801 A 19951009 FI 1995-1663 19950407
AU 9516326 A1 19951019 AU 1995-16326 19950407
JP 07278017 A2 19951024 JP 1995-107071 19950407
HU 71468 A2 199551128 HU 1995-1019 19950407
CN 1116929 A 19960221 CN 1995-104015 19950407
PRIORITY APPLN. INFO.: FR 1994-4156 19940408
AB Antiglucocorticoid steroids such as mifepristone, onperistone,
1ilopristone and related steroids are proposed for the prevention or treatment of withdrawal syndromes, either spontapsous or pptd. by
narcotics or mixts. of narcotics. These antiglucocorticoids would be
useful in the withdrawal from morphinomimeticy such as heroin, morphine or
methadone as well as cocaine. Pharmacol. artivity was demonstrated by the
effect of the antiglucocorticoids on the screetypic behavior of mice in
response to narcotics. Spontaneous withdrawal syndrome was induced by
administration of the opioid antagonisy naloxone. An antiprogesterone
activity of the steroids in their action mechanism was eliminated.
Results confirmed the involvement of endogenous glucocorticoids in
morphine withdrawal since this is onhibited by antiglucocorticoids or

Q (1-) N (0-) O (0-) S (0) OTHERQ>

APPLICATION NO. DATE

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.

95 (0) CH2-G15

ANSWER 4 OF 14 MARPAT COPYRIGHT 2004 ACS on STN 103 G16 on or pharmaceutically acceptable addition salts or N-oxides claim 17

ANSWER 3 OF 14 MARPAT COPYRIGHT 2004 ACS on STN

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19 ANSWER 5 OF 14 MARPAT COPYRIGHT 2004 ACS on STN G13 - 36
L9 ANSWER 5 OF 14
ACCESSION NUMBER:
1711LE:
1TITLE:
1NVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:
DOCUMENT TYPE:

HARPAT COPYRIGHT 2004 ACS on STN
123:218391 NARPAT
Steroids for reducing multidrug resistance to cancer chemotherapeutic agents
Cohn, Suzanne Bourgeois/ Gruol, Donald J.
SOURCE:
CODBUSTINESS AND STATEMENT OF Biological Studies, USA
CODBUSTINESS AND STATEMENT OF BIOLOGICAL STUDIES 
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                           3€ (O)-CH2-OH
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                               - NH2
claim 1
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                           G16
MPL:
    DOCUMENT TYPE:
                                                                                                                                                                                                                                  Patent
  FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
PATENT NO. KIND DATE

WO 9517192 A1 19950629 WO 1994-U514624 19941219

W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, GIU, JP, KE, KG, KP, KQ, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, S1, SK, TJ, TT, UA, US, UZ

RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, TD, TG

AU 9514395 A1 19950710 AU 1995-14395 19941219

PRIORITY APPLN. INFO::

PATENT NO. DATE

AV 1995-14395 19941219

AV 1995-14395 19941219

VS 1993-173243 19931222
                                              PATENT NO.
                                                                                                                                                                                                                                                                                                                                                                                                 APPLICATION NO. DATE
                                                                                                                                                                                                    KIND DATE
                                     MC, NL, PT, SE, BF, BJ, CF, CG, CT, CH, GA, GN, ML, MR, NS, SN, TD, TG

AU 9514395

Al 19950710

AU 1993-173343

19931222

Wo 1994-U914624

19941219

Certain steroid-like compds. [I; Rl = H; Rz = OR, OR RIRZ = 10; R = H, lower alkyl, Ma3Si; R3 = H, Me, or absent if double bond or epoxide bridge joins C9 and ClO; Rt = OR', Cf-18 cyclic ofg, group contg. O, N, P, or SI; R' = lower alkyl, Ma3Si; R5 = H, OR; or X-C16C17 form a 3-, 5-, 6-, or 7-membered ring; R6 = C(O)CH3, CH(OH)CH5, C(O)CH2OH, (substituted) hydrocarbyl; R8 = H, halo, or absent f double bond or epoxide bridge joins C9 and ClO] are capable of indibiting the P-glycoprotein-assocd. efflux pump which is considered reponsible for multidrug resistance. Chemotherapy can be enhanced by facilitating the accumulation of drug at the target site, with reduced or eliminated competition by the drug efflux system. Thus RU 38486, an antiprogestin, at 5 mm. M facilitated killing of multidrug-resistant S7CD5 murine thymoma cells by 20 mm. M puromycin.
  G1
G10
G11
                                                                                                                         SO (1-2) G16)
  L9 ANSWER 6 OF 14 MARPAT COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 122:365423 MARPAT TITLE: TRIPLIC TOPYRIGHT 2004 ACS ON STN ACCESSION NUMBER: 122:365423 MARPAT TITLE: 123:365423 MARPAT TITLE: 
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                      ANSWER 6 OF 14 MARPAT COPYRIGHT 2004 ACS on STN = alkyl<(1-6)> (SO (1-) G12) = alkylcarbonyl<(1-5)> (SO (1-) G17) = 39
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                (Continued)
                                                                                                                                                                                                                                anxiety disorders
Peeters, Bernardus Wynand Hachijs Maria
Akzo Nobel N.V., Neth.
PCT Int. Appl., 25 pp.
CODEN: PIXXD2
    INVENTOR (S):
PATENT ASSIGNEE (S):
SOURCE:
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                 G11
    DOCUMENT TYPE:
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                   G16
                                                                                                                                                                                                                                     Patent
                                                                                                                                                                                                                                English
1
    FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              MPL:
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                             claim 2
                                       PATENT NO. KIND DATE APPLICATION NO. DATE

WO 9504536 Al 19950216 WO 1994-EP2513 19940728

W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KG, KP, KR, KZ, LK, LT, LV, MD, KG, MN, NO, NZ, PL, RO, RU 51, SK, TJ, TT, UA, US, UZ, VN

RY: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GP, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, CN, ML, NR, NE, SN, TD, TG

AU 9474968 Al 19950219

EP 712311 Al 19960522

EP 792492489 19940728

EP 712311 Bl 19991007

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

JP 09501172 T2 19970204 JP 1995-506200 19940728

AT 171873 E 19981015 AT 1994-224819 19940728

ES 2124305 T3 19990216 ES 1994-924819 19940728

US 5741787 A 19980471 US 1995-51631 19940728

ANT JRITY APPLN. INFO:

EP 1993-220304 19930804

EP 1994-924819 19940728

Antiglucocorticoid stepoids are used for the manuf. of a pharmaceutical compn. for the treatment of anxiety disorders. The anxiolytic effect of 11. beta. -(4-dimethy)sainophenyl)-17. beta. -hydroxy-17. alpha. -(prop-1-ynyl)-estra-4,9-dien-3-ofe (RU38486) was demonstrated in animal testing (antagonism of for-potentiated startle). Prepn. and activity (antagonism of stress-induced hyperthermia) of selected steroids of the invention is also described.
                                                 PATENT NO.
                                                                                                                                                                                                         KIND DATE
                                                                                                                                                                                                                                                                                                                                                                                                    APPLICATION NO. DATE
    PRIORITY APPLN. INFO .:
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L9 ANSWER 7 OF 14
ACCESSION NUMBER:
I16:35156 MARPAT
TITLE:
INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:
COEN:
DOCUMENT TYPE:

HARPAT COPYRIGHT 2004 ACS on STN
116:35156 MARPAT
Preparation and use of antiprogestomimetics for synchronization of parturition in livestock
Grandadam, Jean Andre
Eur. Pat. Appl., 13 pp.
COEN: EPXXDW
Patent
Patent DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE

PATENT NO. KIND DATE APPLICATION NO. DATE

EP 446124 A2 19910911 EF 1991-400594 19910305
EP 446124 A3 19920527
R: AT, BE, CH, DE, DK, FR, GB, GR, IT, LI, LU, NL, SE
FR 2659233 A1 19910913 FR 1990-2783 19900306
FR 2659233 A1 19910913 FR 1990-2783 19900306
AU 9172608 A1 19910912 AU 1991-72608 19910305
AU 9172608 A1 19910912 AU 1991-72608 19910305
AU 642975 B2 19931104
ZA 9101603 A 19920527 ZA 1991-1603 19910305
AU 642975 B2 19931104
CA 9101603 A 19920527 DA 1991-7603 19910305
CN 1055665 A1 1991030 CN 1991-762496 19910305
CN 1055665 A 1991030 CN 1991-102108 19910306
CN 1055665 A 1991030 CN 1991-102108 19910306
FRIORITY APPLN. INFO.:

AB The title antiprogestomimetics are I (R1 = C1-18 hydrocarbyl optionally substituted with .gtoreq.1 heteroatoms and bonded to the steroid by a Cr
R2 = C1-8 hydrocarbyl X = remainder of 5- and 6-membered ring optionally substituted and optionally unsatd. C = A = MOH, oxo (free or blocked as ketal) etc., B and C together form a double bond or epoxide bridge) and acid addn. salts thereof. Prepn. of 21 are described.

17. beta.-Tydroxy-11.beta. (4-dimethylaminophenyl)-17.alpha.-(prop-1-ynyl)setra-4,9-dien-3-one (11) was more effective at synchronizing parturition than cloprostenol when tested in sows. Injectable pharmaceuticals conty, II are disclosed.

MSTR 1C

G1 - 30

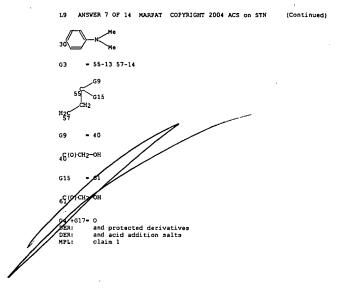
L9 ANSWER 8 OF 14 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 115:214857 MARPAT
TITLE: 11jectable microspheres containing antiestrogenic and antiprogestonimetric steroids
Cohen, Gerard: Dubois, Jean Luc
Roussel-UCLAF, Fr.
Ger. Offen., 15 pp.
CODEN: GWXXEX
DOCUMENT TYPE: Patent
LANGUAGE: GERMAN
FAMILY ACC. NUM. COUNT: 1
FAMILY ACC. NUM. COUNT: 1 DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

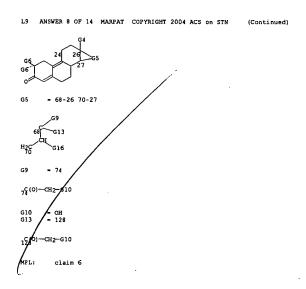
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4036425	A1	19910516	DE 1990-4036425	19901115
FR 2654337	A1	19910517	FR 1989-14976	19891115
FR 2654337	B1	19940805		
SE 9003570	A	19910516	SE 1990-3570	19901109
BE 1005511	A4	19930831	BE 1990-1062	19901109
DK 9002709	A	19910516	DK 1990-2709	19901113
CA 2029940	AA	19910516	CA 1990-2029940	19901114
JP 03294229	A2	19911225	JP 1990-306374	19901114
CH 681691	A	19930514	CH 1990-3611	19901114
NL 9002492	A	19910603	NL 1990-2492	19901115
GB 2239798	A1	19910717	GB 1990-24862	19901115
GB 2239798	B2	19931027	05 1330 21002	13301113
AT 9002313	Ä	19950415	AT 1990-2313	19901115
AT 400298	В	19951127	MI 1990 ESIS	13301113
711 400250 7184 17810 7000		13331121		

AT 400298 B 19951127

PRIORITY APPLN. INFO.: FR 1989-14976 19891115

AB Blodegradable microspheres comprise the title steroids (Markush given) and copolymers of lactic acid with glycolic acid. A mixt. of 250 ml. aq. 0.31 hydrolyzed PVA soln., 1 g poly(Di-lactic acid-glycolic acid, 17 g cHzCl2, and 0.5 g 17.beta.-hydroxy-11.beta.-(4-(dimethylamino)phenyl)-17.alpha.-(1-propynyl)estra-4,9-dien-3-one was emulsified, followed by stirring at 22.degree. and decreasing pressure (.gtoreq.400 mm Hg) to give microspheres, which were used for the prepn. of injections.





L9 ANSWER 9 OF 14 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
TITLE:
Use of antiprogestomimetrics for stimulating ovulation, and new preparation for use in pharmaceutical compositions
Grandadam, Jean Andre
PATENT ASSIGNEE(S):
SOURCE:
SOURCE:
COEN: EPXXOW
PATENT TYPE:

DOCUMENT TYPE:

MARPAT COPYRIGHT 2004 ACS on STN
115:151901 MARPAT
Use of antiprogestomimatics for stimulating ovulation, and new preparation for use in pharmaceutical compositions
Grandadam, Jean Andre
Eur. Pat. Appl., 24 pp.
COEN: EPXXOW
Patent DOCUMENT TYPE: Patent LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE

EP 417003 A2 19910313 EP 1990-402449 19900906
EP 417003 A3 19911204
EP 417003 B1 19940629
R: AT, BE, CH, DE, DK, FR, GB, IT, LI, LU, NL, SE
FR 2651435 B1 19940422
US 5173483 A 19921222 US 1990-578894 19900905
CA 2024728 AA 19910308 CA 1990-2024728 19900906
AU 9062259 A1 19910314 AU 1990-62259 19900907
AU 623805 B2 19920521
JP 03099015 A2 19910424 JP 1990-236004 19900907
JP 3032258 B2 20000410
PRIORITY APPLIN. INFO:
FR 1989-11699 19890907
AB Anti-progestomimetic compds., e.g. I [Rl = Cl-18 hydrocarbyl with optionally gloreq.1 heteroatoms, bonded to the steroid by a Cr R2 = Cl-8 hydrocarbyl. X = rest of 5- or 6-membered (substituted) (unsatd.) cing;
AiC = oxo (free or in ketal), CH(OR), CH(OR3), CH(O2CR3), etc., R3 = Cl-8 altyl, C7-15 aralkyl B and C together-form a double bond or epoxide bridge] and their acid and base addn. salts, are used for making pharmaceuticals for stimulating ovulation, e.g. in cows. The compds. of the invention are preferably used following treatment with progestrone or a progestomimeetic, e.g. 3 -oxo-17-alpha.-allyl-17-beta.-hydroxyestra-4,9,11-triene (II). Thus, heifer cows were 1st administered II for 17 days; on the day following the last administeriation, the animals were injected with 17-beta.-hydroxy-11.beta.-(-d-dimethylaminophenyl)-17.alpha.alvery short delay period, and LM Levels rose very rapidly. Prepn. of 12 anti-progestomimetics is presented. PATENT NO. KIND DATE APPLICATION NO. DATE

MSTR 1E

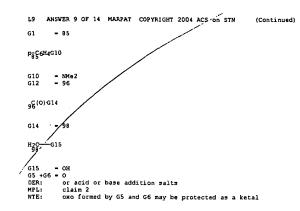
L9 ANSWER 10 OF 14 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 115:9125 MARPAT Preparation of .omega.-[(3-oxoestra-4,9-dien-11.beta.-y1)phenylaminojalkanoates as antiglucocorticoids y1)phenylaminojalkanoates as antiglucocorticoids Moguilevsky, Martiner Nedelec, Lucien; Nique, Francios; Philibert, Daniel Roussel-UCLAF, Fr.
SOURCE: Eur. Pat. Appl., 33 pp.
CODEN: EPXXDW Patent Amguage: Patent French
FAMILY ACC. NUM. COUNT: 1 DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.					
EP 414606	A2	19910227	EF	1990-402328	19900822
EP 414606					
EP 414606	B1	19941102			
R: 'AT, BE, C	H, DE,	DK, ES,	FR, GB,	GR, IT, LI, LU	, NL, SE
FR 2651233	A1	19910301	FF	1989-11173	19890823
FR 2651233	B1	19911213			
CA 2022648	AA	19910224	C	1990-2022648	19900803
ZA 9006341					
US 5166146					
JP 03090097	A2	19910416	JI	1990-217281	19900820
JP 3026997					
IL 95451	A1	19950731	11	1990-95451	19900821
AU 9061189	A1	19910228	AL	1990-61189	19900822
AU 634569	B2	19930225			
HU 54706	A2	19910328	н	1990-5275	19900822
HU 208154					
ES 2063313	T3	19950101	ES	1990-402328	19900822
CN 1051362	Α	19910515	Ch.	1990-107161	
CN 1033808	В	19970115			
RU 2041236	C1	19950809	RL	1992-5011511	19920518
ORITY APPLN. INFO.:			FF	1989-11173	19890823
ER SOURCE(S):	CAS	REACT 11	5:9125		

R SOURCE(S):

CASREACT 115:9125
The title compds. [I Rl = aliph. hydrocarbyl: R2 = H, (un) substituted alkyl: R5, R6 = H, alkyl: X = atoms to complete an (un) substituted 5- or 6- membered ring: Z = (un) salisticed COZH: n = 1-6) were prept Thus, aminophenylestradienone II (R = R5 = R6 = H) was condensed with BrCHZCOZNe to give, after sapon., II (R = CHZCOZNa, R5 = R6 = H) which at 10-64 in vitro gave 821 inhibition of uridine incorporation into rat thymocytes.

= 39-18 37-17



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L9 ANSWER 10 OF 14 MARPAT COPYRIGHT 2004 ACS on STN
                                                               (Continued)
3<sup>G</sup>16-G10-3<sup>G</sup>H2
G10
     = (1-2) 45
G11-G12
G13
       = 53
59 (0)-CH2-OH
G16
     - 68
G13-C-G13
MPL:
         claim 1
```

L9 ANSWER 11 OF 14 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

TITLE: Preparation of 19-nor 3-oxo steroids with an amine substituted 17-chain as antioxidants and antinflammatories: their use as medicines and pharmacoutical composition containing them Clausaner, Andrew Leclaire, Jacques Medelec, Lucien, Philibert, Daniel PATENT ASSIGNEE(S): ROUSSEL-UCLAF, Fr.

BOCUMENT TYPE: Eur. Pat. Appl., 29 pp.

CODEN: EPXKDW

DOCUMENT TYPE: Patent
LANGUAGE: Prench
FRANLLY ACC. NUM. COUNT: 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.

APPLICATION NO. KIND DATE DATE EP 389370 EP 389370 A1 B1 19900926 19940427 EP 1990-400784 19900322

EP 389370 Al 19900926 EP 1990-400784 19900322
EP 389370 Bl 19940427
R: CH, DE, FR, GB, IT, LI, NL
FR 2644789 Al 19900928 FR 1989-3742 19890322
FR 2644789 Al 19900928 FR 1989-3742 19890322
JP 02273693 A2 19901108 JP 1990-68508 19900320
JP 28288907 B2 19990120 JP 1990-68508 19900320
US 5108996 A 19920428 US 1990-497562 19900321
PRIORITY APPLM. INFO: CASREACT 114:229227
AB The title compds. [I, R], R2 = H, He, R] II = (poly) (hetera) hydrocarbyl one of R17 and R18 is OH or acyloxy and the other is Q; Z = alkylene, alkenylene, alkynylene; P = (substituted) pyrimidinyl, pyridyl were prepd. via reacting the halo derivs. II or III (X = halo) with the appropriate pyrimidinyl or pyridine deriv. IV. Reaction of estradienone V [R3 = 3-bromo-1-propynyl, R4 = OH] (prepn. given) was reacted with 2,4-bis(1-pyrcolidinyl)-6-(1-piperazinyl)pyrimidine (prepn. given) in acetone contg. XECO3 at ambient temp. for 2 h to give V (R3 = 3-4-(2,6-bis(1-pyrcolidinyl)-4-pyrimidinyl)-1-piperazinyl)-1-propynyl; R4 OH], At 5 times. 10-4 M this inhibited in vitro the formation of malonyldialdehyde, a measure of lipid peroxidn., in rat brain homogeneate by apprx.47.51.

MSTR 3

G2 - 107

L9 ANSWER 12 OF 14 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 113:115677 MARPAT
TITLE: Preparation of androstanone derivatives as drugs
Scholz, Stefan, Neef, Guenter; Ottow, Eckhard; Elger,
Walter; Beier, Sybille; Chwalisz, Krzysztof
Scheding A.-G., Germany
Eur. Pat. Appl., 38 pp.
COOEN: EYXXDW

DOCUMENT TYPE: Patent
LANGUAGE: German

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: German 1

				DATE		API	LICATION NO.	DATE
EP	360369		A1	19900328		EP	1989-250040	19890920
				19950503				
	R: AT,	BE, CI	i, DE,	ES, FR,	GB,	GR, I	T, LI, LU, NL	, SE
DE	3832303		A1	19900412		DE	1988-3832303	19880920
1 L	91672		A1	19941229		IL	1988-3832303 1989-91672	19890918
WO	9003385		A1	19900405		WO	1989-EP1090	19890920
				JP, NO,				
AU	8943049		A1	19900418		AU	1989-43049	19890920
AU	640616		B2	19930902				
ZA	8907191		A	19901031		ZA	1989-7191 ·	19890920
DD	284682		A5	19901121		DD	1989-332836	19890920
HU	56851		A2	19911028		HU	1989-5541	19890920
HU	208151		В	19930830				
JP	04501712		T2	19920326		JP	1989-509963	19890920
JP	2760870		B2	19980604				
AT	122052		E	19950515		AT	1989-250040	19890920
ES	2074073		T3	19950901		ES	1989-250040	19890920
							1991-1102	
, DK	9100504		A	19910320		DK	1991-504	19910320
≥ tus	5244886		A	19930914		ŲS	1991-663819.	19910320
NO	9104772						1991-4772	
PRIORITY	APPLN.	NFO.:					1988-3832303	
						WO	1989-EP1090	19890920
						NO	1991-1102	19910319

INTERPRETABLE OF THE PROPERTY OTHER SOURCE(S):

MSTR 1A

ANSWER 11 OF 14 MARPAT COPYRIGHT 2004 ACS on STN

OH piperazino claim 13 the alkylamino and dialkylamino groups in G11 may be interrupted by oxygen, sulfur, or nitrogen

G1 G4 - Hy<EC (1-2) Q (-2) N (-1) O (-1) S (3-4) C (0)
OTHERQ, AN (0) N, AR (1-), BD (2) DE, RC (1), RS (1) E5>
(SO (1-) G8)

= alkyl<(1-4)>
= Me
claim 1

L9 ANSWER 13 OF 14 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
TITLE: Preparation of 13-alkyl-11.beta.-phenylgonanes as antigestagens and antiglucocorticoids
Scholz, Stefan, Ottow, Eckhard, Neef, Guenter, Elger, Walter; Beier, Sybiller Chwalisz, Krzysztof
PATENT ASSIGNEE(S): Schering A.-G., Germany
Ger. Offen., 22 pp.
COUDEN TYPE: Patent
LANGUAGE: Patent
German

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: German 1

PATENT NO.	KIND	DATE	APP	LICATION NO.	DATE
DE 3822770	A1	19900104	DE	1988-3822770	19880701
IL 90826				1989-90826	19890630
CA 1334668			CA	1989-604596	19890630
EP 349481	A1	19900103	EP	1989-730155	19890703
EP 349481	B1	19951102			
R: AT, BE.	CH. DE.	ES, FR. GB.	GR. I	T, LI, LU, NI	, SE
WO 9000174	Á1	19900111	wo	1989-DE443	19890703
W: AU, FI,					
AU 8938568	À1	19900123	AU	1989-38568	19890703
AU 644060	B2	19931202			
AU 644060 ZA 8905058 DD 287511 HU 56114	A	19900425	ZA	1989-5058	19890703
DD 287511	A5	19910228	DD	1989-330342	19890703
HU 56114	A2	19910729	HU	1989-4130	19890703
HU 208021	В	19930728			
HU 208021 DD 295638	A5	19911107	DD	1989-341722	19890703
JP 03505727 JP 2956776 US 5273971	Т2	19911212	JP	1989-507188	19890703
JP 2956776	B2	19991004			
US 5273971	Α	19931228	US	1989-374809	19890703
AT 129717	E	19951115	AT	1989-730155	19890703
ES 2080079	Т3	19960201	ES	1989-730155	19890703
*** ******	_	19910228	NO	1990-5609	19901227
NO 9005609 NO 180451 NO 180451 US 5446036	В	19970113			
NO 180451	С	19970423			
US 5446036	A	19950829	US	1993-144474	19931102
FI 9504856	A	19951012	FI	1995-4856	19951012
NO 9600829	A	19910228	NO	1996-829	19960229
PRIORITY APPLN. INFO	. :		DE	1988-3822770	19880701
			US	1989-374809	19890703
			WO	1989-DE443	19890703
			NO	1990-5609	19901227
			FI	1988-3822770 1989-374809 1989-DE443 1990-5609 1990-6441	19901228
AR The title commod		21 = heterom	clud	everylally o	welcalkenyl

The title compds. [I; Rl = heterocyclyl, cycylalkyl, cycloalkenyl, alkenyl, etc.; R2 = .alpha.-, .beta.-He, -Et; R3,R4 = alkoxy, acyl, oxofuryl, alkynyl, etc.; R2 - .nlpha.--, .beta.-He, -Et; R3,R4 = alkoxy, acyl, oxofuryl, alkynyl, etc.; Z = O, NOH], antigestagens and antiglucocorticoids useful for induction of abortion, were prepd. via Grignard reaction of the corresponding 5.alpha., 10.alpha.-epoxy-9(11) unsatd. steroids with p-RICGH4X (X = halo). Grignard reaction of epoxy steroid II (prepn. given) with p-CRI:CHCGH4X (X = Br, iodo) gave I [Rl = CH2:CH, R2 = .beta.-Me, R3 = OH, R4 = C.tplbond.CMe, Z = OCH2CMe2CH2O], which was hydrolyzed to give I [Z = O, R1-R4 same as above]. This at 3.0 mg s.c./day induced abortion in 100% of rats tested.

L9 ANSWER 14 OF 14
ACCESSION NUMBER:
110:213172 MARPAT
111LE:
13(Alpha)-alkylgonanes, their production, and pharmaceutical preparations containing same
Nwefn Assignee(s):
SOURCE:
SOURCE:
US.Y. 5 pp. Cont. of U.S. Ser. No. 621,308.
CODEN: USXXAM
DOCUMENT TYPE:

DOCUMENT TYPE: LANGUAGE: Patent English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4780461	Α	19881025	US 1985-810148	19851218
DE 3321826	A1	19841220	DE 1983-3321826	19830615
DE 3413036	A1	19851017	DE 1984-3413036	19840404
DE 3446661	A1	19860619	DE 1984-3446661	19841218
PRIORITY APPLN. INFO.	:		DE 1983-3321826	19830615
			DE 1984-3413036	19840404
			HC 1004-621200	10040616

DE 1984-3413036 19840404
US 1984-621308 19840615
DE 1984-621308 19840615
DE 1984-3446661 19841218

OTHER SOURCE(S):

CASREACT 110:213172

AB 13.alpha.-Alkylgonanes [Ir R - C1-4 acyl; X = 0, NOH; II; R1 = amino; R2 = H, Me, Etr R3 = (substituted) alkyl; R4 = OH, alkoxy, alkanoyloxy; or R3R4 = Q; R5 = H, alkyl; III; Z = CHZCHZ, CHZCHZCHZ], having antigestagenic activity and useful as postociata contraceptives, or for triggering abortion and menstruation (no data), are prepd. via photochem. epimerization of the 13.beta.-gonanes IV. 11.beta.-(4-Dimethylaminomethyl)-17.alpha.-hydroxy-13.alpha.-methyl-17.beta.-(3-hydroxypropyl)-4,9-gonadien-3-one (V) was acetylated with Ac2O in pyridine to give 11.beta.-(3-acetoxypropyl)-4,9-gonadien-3-one. A tablet was formulated conty, V 10.0, lactose 140.0, corn starch 69.5, polyvinylpyrrolidone 25 2.5, Aerosil 2.0, and Mg stearate 0.5 mg.

MSTR 2

= Hy<RC (1), RS (1) M5 (1) X6, EC (0-) O (1-) N (0-) S (0) OTHERQ, AN (1) N, ED (ALL) SE> = 59

5G (0)-CH2-G11

G8 G11

L9 ANSWER 13 OF 14 MARPAT COPYRIGHT 2004 ACS on STN

- pyrrolyl (SO (1-) G5)
- 37

35 (O)-CH2-G10

G7 G10 MPL: NTE:

= Me = alkyl<(1-4)> claim 1 substitution is restricted

L9 ANSWER 14 OF 14 MARPAT COPYRIGHT 2004 ACS on STN G12 - 66 (Continued)

وور (38

= 33 <RC (1), RS (1) M5 (1) X6, EC (0-) O (1-) N (0-) S (0) OTHERQ, AN (1) N, BD (ALL) SE> and acid addition salts claim 10 GGA

DER:

09/526,855 Page 31

=> d his

(FILE 'HOME' ENTERED AT 08:10:41 ON 24 MAR 2004)

FILE 'REGISTRY' ENTERED AT 08:10:56 ON 24 MAR 2004

L1 STRUCTURE UPLOADED

L2 9 S L1

L3 163 S L1 FULL

FILE 'CAPLUS' ENTERED AT 08:11:56 ON 24 MAR 2004 L4 7 S L3

FILE 'BEILSTEIN' ENTERED AT 08:17:49 ON 24 MAR 2004 L5 0 S L1 FULL

FILE 'USPATFULL' ENTERED AT 08:18:24 ON 24 MAR 2004

L6 4 S L3 FULL L7 0 S L6 NOT L4

FILE 'MARPAT' ENTERED AT 08:18:45 ON 24 MAR 2004

L8 19 S L3 FULL L9 14 S L8 NOT L4